

101604022

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	1	deprotection near3 microwave	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	ON	2005/11/12 11:28
L2	4	deprotecting near3 microwave	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	ON	2005/11/12 11:29
L3	794	(deprotecting or deprotection or protecti\$5) near3 microwave	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	ON	2005/11/12 11:29
L4	4	(deprotecting or deprotection or de-protect\$4) near3 microwave	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	ON	2005/11/12 11:31
L5	4	("peptide synthesis") near3 microwave	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	ON	2005/11/12 11:30
L6	7	(deprotecting or deprotection or de-protect\$4) near10 microwave	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	ON	2005/11/12 11:31
L7	939	(deprotecting or deprotection or de-protect\$4) and microwave	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	ON	2005/11/12 11:31
L8	611	(deprotecting or deprotection or de-protect\$4) and microwave and peptides	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	ON	2005/11/12 11:32
L9	4	(deprotecting or deprotection or de-protect\$4) and microwave near3 peptides	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	ON	2005/11/12 11:33
L10	0	((bmoc) near microwave)near3 peptides	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	ON	2005/11/12 11:33
L11	4	deprotect\$3 near3 microwave	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	ON	2005/11/12 12:29
L12	3465	coupling near3 microwave	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	ON	2005/11/12 12:30

L13	108216	l12 and spps or ("solid phase")	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	ON	2005/11/12 12:30
L14	37	l12 and (spps or ("solid phase"))	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	ON	2005/11/12 12:31
L15	13	l12 and (spps or ("solid phase")) and peptide	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	ON	2005/11/12 12:31

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NEWS 14 OCT 27 DIOGENES content streamlined
NEWS 15 OCT 27 EPFULL enhanced with additional content

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MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 13 JUNE 2005

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=> file medline biosis embase caplus

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=> deprotection (3a) microwave
L1 77 DEPROTECTION (3A) MICROWAVE

=> l1 and peptide
L2 5 L1 AND PEPTIDE

=> dup rem
ENTER L# LIST OR (END):12
PROCESSING COMPLETED FOR L2
L3 4 DUP REM L2 (1 DUPLICATE REMOVED)

=> d ibib abs total

L3 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:560667 CAPLUS
DOCUMENT NUMBER: 143:212166
TITLE: MW-enhanced high-speed deprotection of Boc group using
p-TsOH and concomitant formation of N-Me-amino acid
benzyl ester p-TsOH salts
AUTHOR(S): Babu, Vommuna; Patil, Basanagoud; Vasanthakumar,
Ganga-Ramu
CORPORATE SOURCE: Department of Studies in Chemistry, Bangalore
University, Bangalore, India
SOURCE: Synthetic Communications (2005), 35(13), 1795-1802
CODEN: SYNCAV; ISSN: 0039-7911
PUBLISHER: Taylor & Francis, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A high-speed, complete deprotection of Boc group from Boc (Boc =
tert-butoxycarbonyl) amino acids and protected **peptide** esters
employing p-TsOH in toluene under microwave irradiation is found to be
complete in 30 s. The deprotection can be carried out in methanol and
acetonitrile also. Under the present conditions, **C-peptide**
benzyl esters and O-benzyl ethers have been found to be stable. This has
permitted us to carry out the synthesis of [Leu]enkephalin employing the
Boc/Bzl-group strategy. Further more, it has been found that both
N α -Fmoc (Fmoc = 9-fluorenylmethyloxycarbonyl) and N α -Z (Z =
benzyloxycarbonyl) groups are completely stable. The present conditions
can be extended for the concomitant removal of the Boc group and the
formation of C-benzyl amino acid esters as well. This has been utilized
for the synthesis of N-Me amino acid benzyl esters starting from Boc-N-Me
amino acids in a single step.

REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:658783 CAPLUS
TITLE: Microwave-enhanced solid-phase **peptide**
synthesis
AUTHOR(S): Collins, Jonathan M.
CORPORATE SOURCE: CEM Corporation, Matthews, NC, 28106-0200, USA
SOURCE: Abstracts of Papers, 228th ACS National Meeting,
Philadelphia, PA, United States, August 22-26, 2004

(2004), ORGN-518. American Chemical Society:
Washington, D. C.
CODEN: 69FTZ8

DOCUMENT TYPE: Conference; Meeting Abstract
LANGUAGE: English

AB Microwave energy has proven to be a valuable tool for organic synthesis. Recently, microwave has been used for enhanced Fmoc solid phase **peptide** synthesis. With **microwave** energy, **deprotection** and coupling reactions can be performed in 3 and 4 min resp. This paper builds on previous work and demonstrates the successful application of microwave energy for longer 30-40 amino acid **peptide** sequences. Variation in deprotection and coupling chemistries will be presented and discussed.

L3 ANSWER 3 OF 4 EMBASE COPYRIGHT (c) 2005 Elsevier B.V. All rights reserved on STN DUPLICATE 1

ACCESSION NUMBER: 2001246403 EMBASE

TITLE: Rapid **microwave**-assisted **deprotection** of N-Cbz and N-Bn derivatives.

AUTHOR: Daga M.C.; Taddei M.; Varchi G.

CORPORATE SOURCE: M. Taddei, Dipartimento di Chimica, Universita degli Studi di Sassari, Via Vienna 2, 07100 Sassari, Italy

SOURCE: Tetrahedron Letters, (30 Jul 2001) Vol. 42, No. 31, pp. 5191-5194.

Refs: 15

ISSN: 0040-4039 CODEN: TELEAY

PUBLISHER IDENT.: S 0040-4039(01)00969-8

COUNTRY: United Kingdom

DOCUMENT TYPE: Journal; Article

FILE SEGMENT: 029 Clinical Biochemistry

LANGUAGE: English

SUMMARY LANGUAGE: English

ENTRY DATE: Entered STN: 20010802

Last Updated on STN: 20010802

AB Catalytic-transfer hydrogenation in iso-propanol under microwave irradiation has been performed to rapidly deprotect N-Cbz and N-Bn derivatives. The method is particularly suitable for the synthesis of short **peptides** and can also be carried out on supported molecules. The rapid cleavage of chiral molecules derived from (S)-1-phenylethylamine can be very useful for asymmetric synthesis of nitrogen containing compounds. .COPYRG. 2001 Elsevier Science Ltd.

L3 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:494797 CAPLUS

DOCUMENT NUMBER: 135:242481

TITLE: Utilization of microwave technique for cleavage of acid-labile groups in **peptide** chemistry

AUTHOR(S): Sebestik, Jaroslav; Hlavacek, Jan; Stibor, Ivan

CORPORATE SOURCE: Ustav Org. Chem. a Biochem., Akad. Ved Ceske Republiky, Prague, 166 10, Czech Rep.

SOURCE: Chemicke Listy (2001), 95(6), 365-367

CODEN: CHLSAC; ISSN: 0009-2770

PUBLISHER: Ceska Spolecnost Chemicka

DOCUMENT TYPE: Journal

LANGUAGE: Czech

AB We report our findings on the microwave-assisted silica gel removal of Boc and t-Bu groups protecting α -NH₂ and COOH groups in amino acids and **peptides**, and also on selectivity of this deprotection to OH-protecting t-Bu groups. To obtain high conversions in **deprotection**, increased **microwave** doses and prolongation of the reaction time are required. As a consequence, relatively large amts. of side products were detected. The microwave radiation could possibly be utilized in deprotection of **peptides** when the trifluoroacetic acid cleavage and subsequent neutralization of the acid with a tertiary base have to be avoided.

=> d his

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FILE 'MEDLINE, BIOSIS, EMBASE, CAPLUS' ENTERED AT 11:36:01 ON 12 NOV 2005

L1 77 DEPROTECTION (3A) MICROWAVE
L2 5 L1 AND PEPTIDE
L3 4 DUP REM L2 (1 DUPLICATE REMOVED)

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L1 77 DEPROTECTION (3A) MICROWAVE
L2 5 L1 AND PEPTIDE
L3 4 DUP REM L2 (1 DUPLICATE REMOVED)

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	ENTRY	SESSION
FULL ESTIMATED COST	19.47	19.68

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=> l1 and "solid phase"
L4 2 L1 AND "SOLID PHASE"

=> dup rem l4
PROCESSING COMPLETED FOR L4
L5 2 DUP REM L4 (0 DUPLICATES REMOVED)

=> d ibib abs total

L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:658783 CAPLUS
TITLE: Microwave-enhanced **solid-phase**
peptide synthesis
AUTHOR(S): Collins, Jonathan M.
CORPORATE SOURCE: CEM Corporation, Matthews, NC, 28106-0200, USA
SOURCE: Abstracts of Papers, 228th ACS National Meeting,
Philadelphia, PA, United States, August 22-26, 2004
(2004), ORGN-518. American Chemical Society:
Washington, D. C.
CODEN: 69FTZ8

DOCUMENT TYPE: Conference; Meeting Abstract
LANGUAGE: English

AB Microwave energy has proven to be a valuable tool for organic synthesis. Recently, microwave has been used for enhanced Fmoc **solid phase** peptide synthesis. With **microwave** energy, **deprotection** and coupling reactions can be performed in 3 and 4 min resp. This paper builds on previous work and demonstrates the successful application of microwave energy for longer 30-40 amino acid peptide sequences. Variation in deprotection and coupling chemistries will be presented and discussed.

L5 ANSWER 2 OF 2 BIOSIS COPYRIGHT (c) 2005 The Thomson Corporation on STN
ACCESSION NUMBER: 1998:490462 BIOSIS
DOCUMENT NUMBER: PREV199800490462
TITLE: Cleavage of oligodeoxyribonucleotides from polymer supports and their rapid **deprotection** under **microwaves**.
AUTHOR(S): Gupta, K. C. [Reprint author]; Kumar, P.
CORPORATE SOURCE: Nucleic Acids Res. Lab., Centre Biochem. Technol., Mall Rd., Delhi Univ. Campus, Delhi 110 007, India
SOURCE: Nucleosides and Nucleotides, (Sept.-Nov., 1998) Vol. 17, No. 9-11, pp. 1761-1766. print.
CODEN: NUNUD5. ISSN: 0732-8311.
DOCUMENT TYPE: Article
LANGUAGE: English
ENTRY DATE: Entered STN: 18 Nov 1998
Last Updated on STN: 18 Nov 1998

AB Novel conditions for the cleavage of oligodeoxynucleotides from polymer supports and their complete **deprotection** under **microwaves** have been developed The oligonucleotides synthesized using phosphoramidite synthons carrying base labile (Pac, Dmf and t-Bpac) and conventional (Bz for A and C and Pac for G) protecting groups for nucleic bases were deprotected using 0.2M sodium hydroxide (MeOH:H₂O::1:1, v/v) = Reagent A and 1M sodium hydroxide (MeOH:H₂O::1:1, v/v) = Reagent B, respectively under microwaves. The deprotected oligonucleotides were found to be comparable with the corresponding oligonucleotides deprotected under standard conditions (aqueous ammonia at 55degreeC).

=> activation (3a) microwave
L6 530 ACTIVATION (3A) MICROWAVE

=> l6 and peptide and "solid phase"
L7 1 L6 AND PEPTIDE AND "SOLID PHASE"

=> d ibib abs total

L7 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:1001899 CAPLUS
DOCUMENT NUMBER: 140:236083
TITLE: Synthesis of methyleneaminodipeptides via ring opening of a 2-(t-butoxycarbonylmethyl)aziridine derivative
AUTHOR(S): Thierry, Josiane; Servajean, Vincent
CORPORATE SOURCE: Institut de Chimie des Substances Naturelles, CNRS, Gif-sur-Yvette, 91198, Fr.
SOURCE: Tetrahedron Letters (2004), 45(4), 821-823
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 140:236083

AB The reactivity of 2-(tert-butoxycarbonylmethyl)aziridine-1-carboxylic acid benzyl ester has been studied with various N-nucleophiles. The ring-opening reaction was always regioselective, the nucleophile attacking preferentially the less hindered carbon of the aziridine. The reaction was used to prepare a methyleneamino pseudodipeptide using the α -amine of a lysine ester. The solvent-free reaction of 2-(tert-butoxycarbonylmethyl)aziridine derivative with benzylamine under **microwave activation** on solid support gave the same result as the classical reaction but in a much shorter time and represents a significant improvement in the procedure.

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> activation and protection
L8 37668 ACTIVATION AND PROTECTION

=> l8 and microwave and peptide
L9 0 L8 AND MICROWAVE AND PEPTIDE

=> s daga, maria caterina/au
L10 0 DAGA, MARIA CATERINA/AU

=> daga, caterina/au
L11 1 DAGA, CATERINA/AU

=> taddei, maurizio/au
L12 162 TADDEI, MAURIZIO/AU

=> varchi, greta/au
L13 23 VARCHI, GRETA/AU

=> 112 and 113
L14 0 L12 AND L13

=> 112 and "solid phase"
L15 31 L12 AND "SOLID PHASE"

=> 115 and microwave
L16 5 L15 AND MICROWAVE

=> dup rem 116
PROCESSING COMPLETED FOR L16
L17 3 DUP REM L16 (2 DUPLICATES REMOVED)

=> d ibib abs total

L17 ANSWER 1 OF 3 MEDLINE on STN DUPLICATE 1
ACCESSION NUMBER: 2003450794 MEDLINE
DOCUMENT NUMBER: PubMed ID: 14510574
TITLE: **Solid-phase** synthesis of
conformationally constrained peptidomimetics based on a
3,6-disubstituted-1,4-diazepan-2,5-dione core.
AUTHOR: Lampariello Lucia Raffaella; Piras Daniela; Rodriquez
Manuela; **Taddei Maurizio**
CORPORATE SOURCE: Dipartimento di Chimica, Universita degli Studi di Sassari,
Via Vienna 2, I-07100 Sassari, Italy.
SOURCE: Journal of organic chemistry, (2003 Oct 3) 68 (20) 7893-5.
Journal code: 2985193R. ISSN: 0022-3263.
PUB. COUNTRY: United States
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 200404
ENTRY DATE: Entered STN: 20030928
Last Updated on STN: 20040409
Entered Medline: 20040408
AB Starting from a Cl-trytyl linked hydroxylamine, a hydroxamic dipeptide
having serine in the second position was prepared by using DMTMM as the
coupling agent. Mitsunobu cyclization carried out under **microwave**
heating gave very good yields of a 3,6-disubstituted-perhydro-diazepin-2,5-
dione. This heterocycle can be used as a new platform for combinatorial
chemistry or as a constraint to rigidify a small peptide.

L17 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:190685 CAPLUS
DOCUMENT NUMBER: 139:53283
TITLE: A new, rapid, general procedure for the synthesis of
organic molecules supported on methoxy-polyethylene
glycol (MeOPEG) under **microwave** irradiation
conditions
AUTHOR(S): Porcheddu, Andrea; Ruda, Gian Filippo; Sega,
Alessandro; **Taddei, Maurizio**
CORPORATE SOURCE: Dipartimento di Chimica, Universita degli Studi di
Sassari, Sassari, 07100, Italy
SOURCE: European Journal of Organic Chemistry (2003), (5),
907-912
CODEN: EJOCFK; ISSN: 1434-193X
PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:53283
AB The procedure for the precipitation of mols. supported on MeOPEG (mol. mass
5000)
and their purification by fractional crystallization has been made easier by
use of

microwave irradiation. A correct choice of the solvent employed for reaction or purification (DME, THF, 1,2-dichlorobenzene, iPrOH, ethylene glycol) allows working with 10 g of MeOPEG-OH, dissolved in 100 mL of solvent, under **microwave** irradiation conditions and for crystallization to be induced just by removal of the reaction flask from the **microwave** oven. No addnl. precipitation solvents are needed, thus reducing the reaction times and the potential hazards of working with large amts. of flammable solvents. The syntheses of several peptides and of a tetrasubstituted pyridine are reported. Large amts. of MeOPEG-OH may be used in this procedure, and so polyethylene glycol assisted organic synthesis can be regarded as a valid preparative technique.

REFERENCE COUNT: 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:444197 CAPLUS

DOCUMENT NUMBER: 139:164736

TITLE: Cellulose Beads: a New Versatile Solid Support for **Microwave**-Assisted Synthesis. Preparation of Pyrazole and Isoxazole Libraries

AUTHOR(S): De Luca, Lidia; Giacomelli, Giampaolo; Porcheddu,

CORPORATE SOURCE: Andrea; Salaris, Margherita; **Taddei, Maurizio**
Dipartimento di Chimica, Universita degli Studi di Sassari, Sassari, I-07100, Italy

SOURCE: Journal of Combinatorial Chemistry (2003), 5(4), 465-471

CODEN: JCCHFF; ISSN: 1520-4766

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 139:164736

AB Combinatorial libraries of pyrazoles and isoxazoles are prepared by cyclocondensation reactions of β -ketoesters and β -ketoamides, 1-(dimethoxymethyl)imidazole, and hydrazines or hydroxylamine on **solid-phase** using a novel aminophenyl-substituted cellulose resin. Heating the aminophenyl-substituted cellulose with either β -ketoesters or β -ketoamides and 1-(dimethoxymethyl)imidazole yields polymer-bound enaminones in >99% yields by colorimetric assays; heating the resin-bound enaminones with hydrazines or hydroxylamine in isopropanol yields the product heterocycles in addition to the aminophenyl-substituted cellulose resin which can be reused. Testing of the resin with β -naphthol and sodium nitrite gives a red color if free arylamino groups are present on the resin, while testing with iron (III) chloride allows the presence of resin-bound β -enaminone moieties to be determined. The added stability of cellulose to thermal shock allows both conventional and **microwave** heating to be used for **solid-phase** reactions. One-pot and multiple step reactions are used to obtain pyrazoles and isoxazoles in 97-99% yields; one-pot synthesis using **microwave** irradiation gives the heterocyclic products in >95% yields and in >98% purities.

REFERENCE COUNT: 105 THERE ARE 105 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> ("solid phase" (3a) peptides) or SPPS

L18 4249 ("SOLID PHASE" (3A) PEPTIDES) OR SPPS

=> (activation or deprotection)

L19 2167208 (ACTIVATION OR DEPROTECTION)

=> l18 and l19

L20 535 L18 AND L19

=> l20 and microwave

L21 1 L20 AND MICROWAVE

=> d ibib abs total

L21 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:227419 CAPLUS
TITLE: Effect of **microwave** energy on solid phase
peptide synthesis
AUTHOR(S): Collins, Jonathan M.; Hassman, C. Fred; King, Edward
E.; Lambert, Joseph
CORPORATE SOURCE: Life Sciences Division, CEM Corporation, Matthews, NC,
28106, USA
SOURCE: Abstracts of Papers, 227th ACS National Meeting,
Anaheim, CA, United States, March 28-April 1, 2004
(2004), ORGN-549. American Chemical Society:
Washington, D. C.
CODEN: 69FGKM
DOCUMENT TYPE: Conference; Meeting Abstract
LANGUAGE: English

AB The application of **microwave** energy has proved to be a major
enabling tool for many chemical applications requiring energy input. A new
automated system for **microwave** assisted solid phase peptide
synthesis has been developed that allows for complete cycle times of ten
minutes as well as final peptide cleavage in ten minutes. A single mode
cavity is used to allow for a high **microwave** power d. and a
uniform field distribution. The stability of activated amino acids under
microwave irradiation was investigated using PyBOP and HBTU
activation. The effect of **microwave** energy on
conventional side reactions with SPPS such as racemization and
aspartimide formation was investigated and found to compare very favorably
with conventional methods. Also, exciting changes in coupling chemistries
possible with **microwave** energy will be presented that help to
further suppress racemization. The application of this new method will be
shown on a variety of peptide sequences.

=> (pybop or hbtu or hatu or pyaop or hobt)

L22 2370 (PYBOP OR HBTU OR HATU OR PYAOP OR HOBT)

=> l22 and l18 and microwave

L23 1 L22 AND L18 AND MICROWAVE

=> d

L23 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:227419 CAPLUS
TI Effect of **microwave** energy on solid phase peptide synthesis
AU Collins, Jonathan M.; Hassman, C. Fred; King, Edward E.; Lambert, Joseph
CS Life Sciences Division, CEM Corporation, Matthews, NC, 28106, USA
SO Abstracts of Papers, 227th ACS National Meeting, Anaheim, CA, United
States, March 28-April 1, 2004 (2004), ORGN-549 Publisher: American
Chemical Society, Washington, D. C.
CODEN: 69FGKM
DT Conference; Meeting Abstract
LA English

=> (pybop or hbtu or hatu or pyaop or hobt) and microwave

L24 9 (PYBOP OR HBTU OR HATU OR PYAOP OR HOBT) AND MICROWAVE

=> dup rem l24

PROCESSING COMPLETED FOR L24

L25 6 DUP REM L24 (3 DUPLICATES REMOVED)

=> d ibib abs total

L25 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2005:702713 CAPLUS

TITLE: **Microwave** accelerated high speed solution synthesis of peptides employing **HATU**/HOAt

AUTHOR(S): Sudarshan, Naremaddepalli S.; Babu, Vommuna V. Suresh

CORPORATE SOURCE: Department of Studies in Chemistry Central College Campus, Bangalore University, Bangalore, 560 001, India

SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (2005), 44B(7), 1509-1511

CODEN: IJSBDB; ISSN: 0376-4699

PUBLISHER: National Institute of Science Communication and Information Resources

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The chemical synthesis of peptides employing **HATU**/HOAt as a coupling agent under **microwave** irradiation has been described. The coupling is found to be complete in 30 - 40 s. The yield as well as purity of the peptides made is found to be good. All the peptides prepared have been characterized by 1H NMR and mass spectroscopy.

REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 2 OF 6 EMBASE COPYRIGHT (c) 2005 Elsevier B.V. All rights reserved on STN DUPLICATE 1

ACCESSION NUMBER: 2005104988 EMBASE

TITLE: A reactivity test for **HBTU**-activated carboxylic acids with low reactivity and competitive coupling of N-methylpyrrole derivatives.

AUTHOR: Ernst T.; Richert C.

CORPORATE SOURCE: C. Richert, Institute for Organic Chemistry, University of Karlsruhe (TH), 76131 Karlsruhe, Germany. cr@rrg.uka.de

SOURCE: Synlett, (16 Feb 2005) No. 3, pp. 411-416.

Refs: 36

ISSN: 0936-5214 CODEN: SYNLES

COUNTRY: Germany

DOCUMENT TYPE: Journal; Article

FILE SEGMENT: 029 Clinical Biochemistry

LANGUAGE: English

SUMMARY LANGUAGE: English

ENTRY DATE: Entered STN: 20050324

Last Updated on STN: 20050324

AB N-Methylpyrrole carboxylic acids are building blocks for oligopyrroleamides that bind DNA duplexes via the minor groove. The reactivity of **HBTU**-based active esters of four methylpyrroles in amide-forming reactions was determined. When assayed against **HBTU**-activated N-acetylleucine, a 6-250-fold lower reactivity was found. When assayed against the NHS ester of Boc-valine, the reactivity was up to 4-fold lower. Despite large differences in reactivity, mixed couplings were successfully performed with all four pyrroles, generating small libraries of modified oligonucleotides suitable for spectrometrically monitored selection experiments. **Microwave** irradiation accelerated coupling of an Fmoc-protected pyrrole to an amine on solid support. .COPYRG. Georg Thieme Verlag Stuttgart.

L25 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2005:739910 CAPLUS

TITLE: Chemoselective synthesis of 1,2,4-triazole derivatives using solid-supported reagents as selective inhibitors of cyclin-dependent kinase 4 (Cdk4)

AUTHOR(S): Kim, Kyungjin; Chen, Li; Chen, Yingsi; Depinto, Wanda; Lovey, Allen; McComas, Warren; Xiang, Qing; Yin, Xuefeng

CORPORATE SOURCE: Discovery Chemistry, Hoffmann-La Roche, Inc, Nutley,
NJ, 07110-1199, USA
SOURCE: Abstracts of Papers, 230th ACS National Meeting,
Washington, DC, United States, Aug. 28-Sept. 1, 2005
(2005), MEDI-402. American Chemical Society:
Washington, D. C.
CODEN: 69HFCL

DOCUMENT TYPE: Conference; Meeting Abstract; (computer optical disk)
LANGUAGE: English

AB A series of 1,2,4-triazole derivs. was identified as selective inhibitors of cyclin-dependent kinase 4 (CDK4). A novel synthetic pathway using a combination of solid-supported reagents and **microwave**-assisted technol. was explored to facilitate SAR development. Polymer-supported activated ester acylation reagents were prepared from a variety of com. available aromatic carboxylic acids with polymer-supported **HOBt**. Utilizing **microwave** technol. to accelerate reaction rates, N-acylation reactions were successfully explored. A simple purification method to isolate the N1 from N2 regioisomer of the 1,2,4-triazole scaffold was developed. Herein we will also discuss some analogs with low nanomolar activity toward CDK4 as well as greater than 10-fold selectivity against CDK1 and CDK2.

L25 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:227419 CAPLUS

TITLE: Effect of **microwave** energy on solid phase peptide synthesis

AUTHOR(S): Collins, Jonathan M.; Hassman, C. Fred; King, Edward E.; Lambert, Joseph

CORPORATE SOURCE: Life Sciences Division, CEM Corporation, Matthews, NC, 28106, USA

SOURCE: Abstracts of Papers, 227th ACS National Meeting, Anaheim, CA, United States, March 28-April 1, 2004 (2004), ORGN-549. American Chemical Society: Washington, D. C.
CODEN: 69FGKM

DOCUMENT TYPE: Conference; Meeting Abstract

LANGUAGE: English

AB The application of **microwave** energy has proved to be a major enabling tool for many chemical applications requiring energy input. A new automated system for **microwave** assisted solid phase peptide synthesis has been developed that allows for complete cycle times of ten minutes as well as final peptide cleavage in ten minutes. A single mode cavity is used to allow for a high **microwave** power d. and a uniform field distribution. The stability of activated amino acids under **microwave** irradiation was investigated using **PyBOP** and **HBTU** activation. The effect of **microwave** energy on conventional side reactions with SPPS such as racemization and aspartimide formation was investigated and found to compare very favorably with conventional methods. Also, exciting changes in coupling chemistries possible with **microwave** energy will be presented that help to further suppress racemization. The application of this new method will be shown on a variety of peptide sequences.

L25 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:552687 CAPLUS

DOCUMENT NUMBER: 140:59480

TITLE: **Microwave**-assisted coupling of carboxylic acids to a polymer bound hydrazine linker

AUTHOR(S): Lindquist, Charlotta; Tedebark, Ulf; Ersoy, Oguz; Somfai, Peter

CORPORATE SOURCE: Organic Chemistry, Department of Chemistry, Royal Institute of Technology, Stockholm, Swed.

SOURCE: Synthetic Communications (2003), 33(13), 2257-2262
CODEN: SYNCAV; ISSN: 0039-7911

PUBLISHER: Marcel Dekker, Inc.

DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 140:59480
AB A set of carboxylic acids, all being potential scaffolds for combinatorial chemical or peptide synthesis, were coupled to a polymer bound aryl hydrazine linker using **microwave** irradiation in good yields. Improved yields and reduced reaction times were achieved by using **microwave** -assisted heating compared to conventional heating.
REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 6 OF 6 BIOSIS COPYRIGHT (c) 2005 The Thomson Corporation on STN
DUPLICATE 2

ACCESSION NUMBER: 2001:427078 BIOSIS

DOCUMENT NUMBER: PREV200100427078

TITLE: **Microwave**-enhanced solution coupling of the
alpha,alpha-dialkyl amino acid, Aib.

AUTHOR(S): Santagada, Vincenzo [Reprint author]; Fiorino, Ferdinando;
Perissutti, Elisa; Severino, Beatrice; De Filippis,
Vincenzo; Vivenzio, Beniamino; Caliendo, Giuseppe
CORPORATE SOURCE: Dipartimento di Chimica Farmaceutica e Tossicologica,
Federico II, Universita di Napoli, Via D. Montesano, 49,
80131, Naples, Italy
santagad@unina.it

SOURCE: Tetrahedron Letters, (30 July, 2001) Vol. 42, No. 31, pp.
5171-5173. print.

CODEN: TELEAY. ISSN: 0040-4039.

DOCUMENT TYPE: Article

LANGUAGE: English

ENTRY DATE: Entered STN: 12 Sep 2001

Last Updated on STN: 22 Feb 2002

AB The difficult coupling of alpha-aminoisobutyric acid (Aib), during the synthesis of dipeptides (1-6), was carried out using **PyBOP**/**HOBt** and **HBTU/HOBt** reagents by application of **microwave** energy in the presence of solvent. Room temperature, conventional heating (oil bath) and **microwave** irradiation of the reactions are compared. Synthesis by **microwave** irradiation gave the desired compounds in higher yields and in shorter reaction times than those obtained by conventional heating or at room temperature.

=> d his

(FILE 'HOME' ENTERED AT 11:35:43 ON 12 NOV 2005)

FILE 'MEDLINE, BIOSIS, EMBASE, CAPLUS' ENTERED AT 11:36:01 ON 12 NOV 2005

L1 77 DEPROTECTION (3A) MICROWAVE

L2 5 L1 AND PEPTIDE

L3 4 DUP REM L2 (1 DUPLICATE REMOVED)

FILE 'MEDLINE, BIOSIS, EMBASE, CAPLUS' ENTERED AT 11:51:37 ON 12 NOV 2005

L4 2 L1 AND "SOLID PHASE"

L5 2 DUP REM L4 (0 DUPLICATES REMOVED)

L6 530 ACTIVATION (3A) MICROWAVE

L7 1 L6 AND PEPTIDE AND "SOLID PHASE"

L8 37668 ACTIVATION AND PROTECTION

L9 0 L8 AND MICROWAVE AND PEPTIDE

L10 0 S DAGA, MARIA CATERINA/AU

L11 1 DAGA, CATERINA/AU

L12 162 TADDEI, MAURIZIO/AU

L13 23 VARCHI, GRETA/AU

L14 0 L12 AND L13

L15 31 L12 AND "SOLID PHASE"

L16 5 L15 AND MICROWAVE

L17 3 DUP REM L16 (2 DUPLICATES REMOVED)

L18 4249 ("SOLID PHASE" (3A) PEPTIDES) OR SPSPS
 L19 2167208 (ACTIVATION OR DEPROTECTION)
 L20 535 L18 AND L19
 L21 1 L20 AND MICROWAVE
 L22 2370 (PYBOP OR HBTU OR HATU OR PYAOP OR HOBT)
 L23 1 L22 AND L18 AND MICROWAVE
 L24 9 (PYBOP OR HBTU OR HATU OR PYAOP OR HOBT) AND MICROWAVE
 L25 6 DUP REM L24 (3 DUPLICATES REMOVED)

=> l12 and polymeric or polymer or resin

L26 2128248 L12 AND POLYMERIC OR POLYMER OR RESIN

=> l12 and (polymeric or polymer or resin)

L27 28 L12 AND (POLYMERIC OR POLYMER OR RESIN)

=> l27 and microwave

L28 7 L27 AND MICROWAVE

=> l27 and peptide

L29 11 L27 AND PEPTIDE

=> l28 and peptide

L30 2 L28 AND PEPTIDE

=> dup rem l30

PROCESSING COMPLETED FOR L30

L31 2 DUP REM L30 (0 DUPLICATES REMOVED)

=> d ibib abs total

L31 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:679456 CAPLUS

DOCUMENT NUMBER: 139:323781

TITLE: Solid-Phase Synthesis of Conformationally Constrained
 Peptidomimetics Based on a 3,6-Disubstituted-1,4-
 diazepan-2,5-dione Core

AUTHOR(S): Lampariello, Lucia Raffaella; Piras, Daniela;
 Rodriguez, Manuela; **Taddei, Maurizio**

CORPORATE SOURCE: Dipartimento di Chimica, Universita degli Studi di
 Sassari, Sassari, I-07100, Italy

SOURCE: Journal of Organic Chemistry (2003), 68(20), 7893-7895
 CODEN: JOCEAH; ISSN: 0022-3263

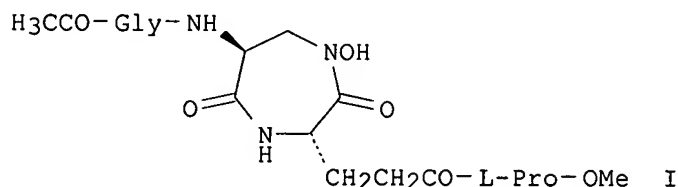
PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 139:323781

GI



AB Starting from a chlorotrityl **resin**-linked hydroxylamine, a
 hydroxamic dipeptide having serine at the N-terminus was prepared by using
 DMTMM [4-(4,6-dimethoxy-1,3,5-triazin-2-yl)-4-methylmorpholinium chloride]
 as the coupling agent. Under **microwave** heating, Mitsunobu
 cyclization of the hydroxamic dipeptide gave a 3,6-disubstituted-perhydro-
 diazepin-2,5-dione in very good yields. Thus, by using

Fmoc-Ser-Glu(OCH₂CH₂CH₂)-NH-O-Resin, H₃CCO-Gly-OH and H-Pro-OMe,
 peptidomimetic I was prepared in four steps in 75% yield.
 REFERENCE COUNT: 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 2003:190685 CAPLUS
 DOCUMENT NUMBER: 139:53283
 TITLE: A new, rapid, general procedure for the synthesis of
 organic molecules supported on methoxy-polyethylene
 glycol (MeOPEG) under **microwave** irradiation
 conditions
 AUTHOR(S): Porcheddu, Andrea; Ruda, Gian Filippo; Segal,
 Alessandro; Taddei, Maurizio
 CORPORATE SOURCE: Dipartimento di Chimica, Universita degli Studi di
 Sassari, Sassari, 07100, Italy
 SOURCE: European Journal of Organic Chemistry (2003), (5),
 907-912
 CODEN: EJOCFK; ISSN: 1434-193X
 PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 139:53283
 AB The procedure for the precipitation of mols. supported on MeOPEG (mol. mass
 5000)
 and their purification by fractional crystallization has been made easier by
 use of **microwave** irradiation A correct choice of the solvent employed for
 reaction or purification (DME, THF, 1,2-dichlorobenzene, iPrOH, ethylene
 glycol) allows working with 10 g of MeOPEG-OH, dissolved in 100 mL of
 solvent, under **microwave** irradiation conditions and for crystallization to
 be induced just by removal of the reaction flask from the
microwave oven. No addnl. precipitation solvents are needed, thus
 reducing the reaction times and the potential hazards of working with
 large amts. of flammable solvents. The syntheses of several
peptides and of a tetrasubstituted pyridine are reported. Large
 amts. of MeOPEG-OH may be used in this procedure, and so polyethylene
 glycol assisted organic synthesis can be regarded as a valid preparative
 technique.
 REFERENCE COUNT: 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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FULL ESTIMATED COST	0.24	171.03

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	ENTRY	SESSION
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=> coupling (3a) microwave
3 COUPLING
0 MICROWAVE
L32 0 COUPLING (3A) MICROWAVE

=> file biosis medline caplus embase

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	ENTRY	SESSION
FULL ESTIMATED COST	0.30	171.09

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	0.00	-10.22

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=> coupling (3a) microwave
L33 1138 COUPLING (3A) MICROWAVE

=> l33 and ("solid phase peptide" or SPPS)
L34 6 L33 AND ("SOLID PHASE PEPTIDE" OR SPPS)

=> l34 and microwave

L35

6 L34 AND MICROWAVE

=> dup rem l35

PROCESSING COMPLETED FOR L35

L36 6 DUP REM L35 (0 DUPLICATES REMOVED)

=> d ibib abs total

L36 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2005:584968 CAPLUS

TITLE: Solid-phase synthesis of vapreotide via
microwave

AUTHOR(S): Zhu, Yi-shen; Qiu, Qian; Tu, Chun-yan; Wei, Ping

CORPORATE SOURCE: College of Life Science and Pharmaceutical
Engineering, Nanjing University of Technology,
Nanjing, 210009, Peop. Rep. China

SOURCE: Jingxi Huagong (2005), 22(5), 395-397

CODEN: JIHUFJ; ISSN: 1003-5214

PUBLISHER: Jingxi Huagong Bianjibu

DOCUMENT TYPE: Journal

LANGUAGE: Chinese

AB Vapreotide was prepared by **solid-phase peptide**
synthesis and effect of **microwave** on the **coupling**
reaction was investigated by orthogonal test. Results were analyzed by
multiple nonlinear regression method and response surface optimization.
The optimal coupling reaction conditions were: maximum reaction temperature 60
°C and reaction time 5 min, including 1 min for raising the temperature
and 4 min for maintaining. Compared with the conventional method, the
microwave-enhanced **coupling** reaction time was shortened
about 12 .apprx. 36 times and less amts. of protected amino acids were
needed. The yield of vapreotide was increased from 48% to 76%. It was
identified by ¹HNMR, IR, MS and HRMS, and the results were consistent with
the proposed structure.

L36 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:227419 CAPLUS

TITLE: Effect of **microwave** energy on **solid**
phase peptide synthesis

AUTHOR(S): Collins, Jonathan M.; Hassman, C. Fred; King, Edward
E.; Lambert, Joseph

CORPORATE SOURCE: Life Sciences Division, CEM Corporation, Matthews, NC,
28106, USA

SOURCE: Abstracts of Papers, 227th ACS National Meeting,
Anaheim, CA, United States, March 28-April 1, 2004
(2004), ORGN-549. American Chemical Society:
Washington, D. C.

CODEN: 69FGKM

DOCUMENT TYPE: Conference; Meeting Abstract

LANGUAGE: English

AB The application of **microwave** energy has proved to be a major
enabling tool for many chemical applications requiring energy input. A new
automated system for **microwave** assisted **solid**
phase peptide synthesis has been developed that allows
for complete cycle times of ten minutes as well as final peptide cleavage
in ten minutes. A single mode cavity is used to allow for a high
microwave power d. and a uniform field distribution. The
stability of activated amino acids under **microwave** irradiation was
investigated using PyBOP and HBTU activation. The effect of
microwave energy on conventional side reactions with **SPPS**
such as racemization and aspartimide formation was investigated and found
to compare very favorably with conventional methods. Also, exciting
changes in **coupling** chemistries possible with **microwave**
energy will be presented that help to further suppress racemization. The
application of this new method will be shown on a variety of peptide
sequences.

L36 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:658783 CAPLUS
TITLE: **Microwave-enhanced solid-phase peptide synthesis**
AUTHOR(S): Collins, Jonathan M.
CORPORATE SOURCE: CEM Corporation, Matthews, NC, 28106-0200, USA
SOURCE: Abstracts of Papers, 228th ACS National Meeting, Philadelphia, PA, United States, August 22-26, 2004 (2004), ORGN-518. American Chemical Society: Washington, D. C.
CODEN: 69FTZ8
DOCUMENT TYPE: Conference; Meeting Abstract
LANGUAGE: English

AB **Microwave** energy has proven to be a valuable tool for organic synthesis. Recently, **microwave** has been used for enhanced **Fmoc solid phase peptide synthesis**. With **microwave** energy, deprotection and **coupling** reactions can be performed in 3 and 4 min resp. This paper builds on previous work and demonstrates the successful application of **microwave** energy for longer 30-40 amino acid peptide sequences. Variation in deprotection and coupling chemistries will be presented and discussed.

L36 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:674277 CAPLUS
DOCUMENT NUMBER: 138:14167
TITLE: Rapid **microwave-assisted solid phase peptide synthesis**
AUTHOR(S): Erdelyi, Mate; Gogoll, Adolf
CORPORATE SOURCE: Department of Organic Chemistry, Department of Medicinal Chemistry, Uppsala University, Uppsala, 751 21, Swed.
SOURCE: Synthesis (2002), (11), 1592-1596
CODEN: SYNTBF; ISSN: 0039-7881
PUBLISHER: Georg Thieme Verlag
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:14167

AB A **microwave-assisted, rapid solid phase peptide synthesis** procedure is presented. It has been applied to the coupling of sterically hindered Fmoc-protected amino acids yielding di- and tripeptides. Optimized conditions for a variety of coupling reagents are reported. Peptides were obtained rapidly (1.5-20 min) and without racemization.

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L36 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2000:294881 CAPLUS
TITLE: **Coupling of near-grazing microwave photons to surface plasmon polaritons via a dielectric grating**
AUTHOR(S): Hibbins, A. P.; Sambles, J. R.; Lawrence, C. R.
CORPORATE SOURCE: School of Physics, Thin Film Photonics Group, University of Exeter, Exeter, EX4 4QL, UK
SOURCE: Physical Review E: Statistical Physics, Plasmas, Fluids, and Related Interdisciplinary Topics (2000), 61(5-B), 5900-5906
CODEN: PLEEE8; ISSN: 1063-651X
PUBLISHER: American Physical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A dielec. grating on top of a planar metal substrate is shown to couple near-grazing **microwave** photons to surface plasmon polaritons (**SPPs**). It is shown that when the grating grooves are oriented

such that they are parallel to the plane of incidence ($\Phi=90^\circ$), coupling to **SPPs** with both s- and p-polarized photons is possible at three different energies. It is demonstrated that one mode is coupled via p-polarized radiation and the other two modes are both coupled via s-polarized radiation. A multilayer, multishape differential grating theory allows the identities of each of the modes to be confirmed by modeling the electromagnetic fields above the metal substrate. In addition, a comparison between the exptl. derived reflectivity scans and the theor. model is made.

REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L36 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1992:572007 CAPLUS

DOCUMENT NUMBER: 117:172007

TITLE: Enhanced coupling efficiency in **solid-phase peptide** synthesis by **microwave** irradiation

AUTHOR(S): Yu, Hui Ming; Chen, Shui Tein; Wang, Kung Tsung

CORPORATE SOURCE: Inst. Biol. Chem., Acad. Sin., Taipei, 10098, Taiwan

SOURCE: Journal of Organic Chemistry (1992), 57(18), 4781-4
CODEN: JOCEAH; ISSN: 0022-3263

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Procedures have been developed for increasing coupling efficiency in **solid-phase peptide** synthesis by **microwave** irradiation using a kitchen **microwave** oven. A rate increase of at least 2-4 fold was observed For side-chain hindered amino acids or for peptides containing difficult-coupling sequences, the peptide bond formation can be finished within 4-6 min. Under the same irradiation conditions, the **microwave** induced rate enhancement is more significant using Fmoc-peptide fragments than using amino acid derivs. in peptide synthesis. No detectable racemization reaction was observed

=> logoff h

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	ENTRY	SESSION
CA SUBSCRIBER PRICE	-4.38	-14.60

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